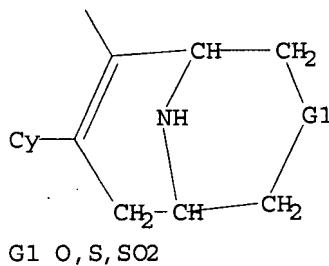


EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	737	(544/47,105).ccls.	USPAT	OR	OFF	2007/07/18 17:19
L2	645	(514/224.2,230.5).ccls.	USPAT	OR	OFF	2007/07/18 17:20
L3	1131	I1 I2	USPAT	OR	OFF	2007/07/18 17:20
L4	107068	RAS\$	USPAT	OR	OFF	2007/07/18 17:20
L5	56	I3 and I4	USPAT	OR	OFF	2007/07/18 17:21



Structure attributes must be viewed using STN Express query preparation.

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 SAMPLE SCREEN SEARCH COMPLETED - 446 TO ITERATE

100.0% PROCESSED 446 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 7653 TO 10187
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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 FULL SEARCH INITIATED 13:21:07 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 8299 TO ITERATE

100.0% PROCESSED 8299 ITERATIONS 8 ANSWERS
 SEARCH TIME: 00.00.01

L3 8 SEA SSS FUL L1

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
	ENTRY	SESSION	
FULL ESTIMATED COST	172.10	172.31	

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10/554,702 Page 5

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FILE LAST UPDATED: 17 Jul 2007 (20070717/ED)

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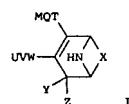
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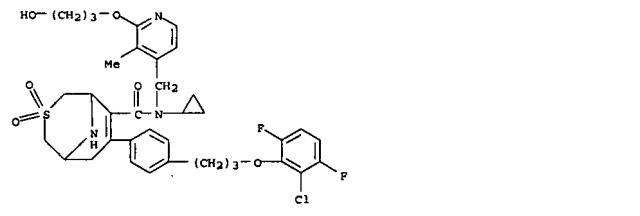
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005395318 CAPLUS
 DOCUMENT NUMBER: 142:463606
 TITLE: Preparation of azabicycloalkenes as renin inhibitors
 INVENTOR(S): Bezencen, Olivier; Sifferlen, Thierry; Bur, Daniel;
 Fischli, Walter; Weller, Thomas; Remen, Lubos;
 Richard-Bildstein, Sylvia
 PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040173	A1	20050506	WO 2004-EPI1704	20041018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004283854	A1	20050506	AU 2004-283854	20041018
CA 2540817	A1	20050506	CA 2004-2540817	20041018
EP 1680427	A1	20060719	EP 2004-765982	20041018
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TP, BG, CZ, EE, HU, PL, SK CN 1930170 A 20070314 CN 2004-80030679 20041018 JP 2007509099 T 20070412 JP 2006-536020 20041018 US 200715405 A1 20070614 US 2006-576904 20060421 IN 2006CN01802 A 20070706 IN 2006-CN1802 20060523				
PRIORITY APPLN. INFO.:			WO 2003-EPI11740	A 20031023
			WO 2004-EPI1704	W 20041018

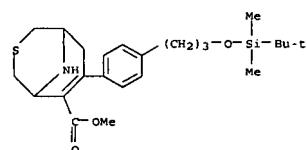
OTHER SOURCE(S): MARPAT 142:463606
 GI



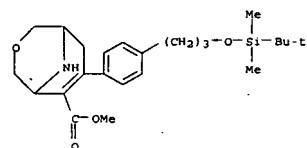
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 851377-84-9P 851377-85-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of azabicycloalkenes as renin inhibitors)
 RN 851377-84-9 CAPLUS
 CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid,
 7-[4-[(3-((1,1-dimethylethyl)dimethylsilyl)oxy)propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 851377-85-0 CAPLUS
 CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid, 7-[4-[(3-((1,1-dimethylethyl)dimethylsilyl)oxy)propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR
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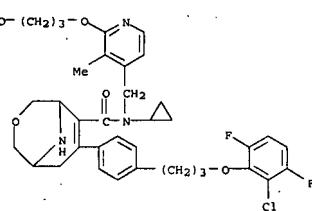
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. [I; Y, Z = H, F, Me; YZ = atoms to form a cyclopropyl ring; X = CH2CH2CH2, CH2CH2, CH2OCH2, CH2SOCH2, CONLCHR6; W = Ph, heteroaryl; V = bond, (CH2)r, A(CH2)s, OCHMeCH2O, etc.; A = O, S, SO, SO2; U = aryl, heteroaryl; T = CONR1, (CH2)pO2C, CO2, etc.; Q = alkylene, alkenylene; M = ArO(CH2)rV5, ArOCH2CH2O(CH2)rW5; Ar = aryl, heteroaryl; K = H, CH2OR3, CH2NR2R3, etc.; L = R3, COR3, CO2R3, CONR2R3, SO2R3, SO2NR2R3; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, cycloalkylalkyl; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl; R3 = H, alkyl, alkenyl, alkynyl, cycloalkylalkyl, aryl, heteroaryl, heterocyclyl, etc.; R5 = OH, O2CR2, CO2R2, cyano, SO3H, morpholinocarbonyl, etc.; R6 = H, (substituted) alkyl, alkoxy; p = 1-4; r = 1-6; v = 2-4; w = 1, 2], were prepared Thus,

rac-(1R*,5S*)-3-[(2-(2,6-dichloro-4-methylphenoxy)ethoxy)phenyl]-8-azabicyclo[3.2.1]oct-2-ene-2-carboxylic acid cyclopropyl[2-(3-hydroxypropoxy)-3-methylpyridin-4-ylmethyl]amide (multistep preparation given) inhibited human recombinant renin with IC50 = 0.18 nM.

IT 790697-25-5 CAPLUS
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (claimed compound; preparation of azabicycloalkenes as renin inhibitors)

RN 790697-25-5 CAPLUS
 CN 3-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[(3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]-N-cyclopropyl-N-[(2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RN 790697-26-6 CAPLUS
 CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[(3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]-N-cyclopropyl-N-[(2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl)methyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

07/18/2007

Own
work

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:965123 CAPLUS

DOCUMENT NUMBER: 141:410817

TITLE: Preparation of 9-azabicyclo[3.3.1]non-6-ene-6-carboxylic acid derivatives with an oxygen or sulfur heteroatom at the 3-position as renin inhibitors

INVENTOR(S): Bezencon, Oliver; Bur, Daniel; Fischli, Walter;

Remen,

Lubos, Richard; Bildstein, Sylvia; Weller, Thomas;

Sifferlen, Thierry

PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

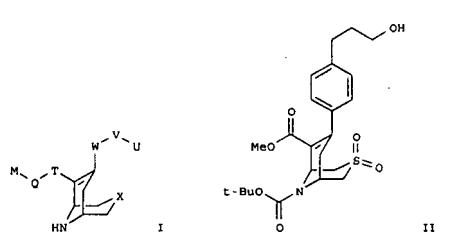
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096366	A1	20041111	WO 2004-EP4371	20040426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004233575	A1	20041111	AU 2004-233575	20040426
CA 2521928	A1	20041111	CA 2004-2521928	20040426
EP 1622695	A1	20060208	EP 2004-729430	20040426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 20040009884	A	20060523	BR 2004-9884	20040426
CN 1780563	A	20060531	CN 2004-80011537	20040426
JP 2006524655	T	20061102	JP 2006-505260	20040426
NO 2005004974	A	20051128	NO 2005-4974	20051026
US 2006258648	A1	20061116	US 2005-554702	20051027
PRIORITY APPLN. INFO.:			WO 2003-EP4492	A 20030430
			WO 2003-EP304492	A 20030430
			WO 2003-EP344924	A 20030430
			WO 2004-EP4371	W 20040426

OTHER SOURCE(S): MARPAT 141:410817
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L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

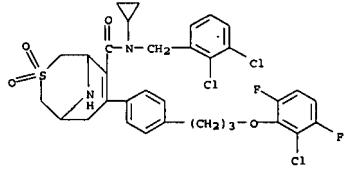


AB The authors prepared the title compds. I (X = O, S, SO₂; W = 6-membered non-benzofused Ph, heteroaryl substituted by V in meta or para position; V = (CH₂)_r, A(CH₂)_r, (CH₂)₃CH₂, OCH₂CH(OMe)CH₂O, etc., r = 3-6, V = 2-4, A, B = independently O, S, SO₂; U = (hetero)aryl; T = CONR₁, (CH₂)_pOCO, (CH₂)_pNR₁CO, (CH₂)_pNR₁SO₂, CO₂, R₁ = H, (cyclo)alkyl, alkenyl, alkynyl, aryl, p = 1-4; O = alkylene, alkenylene; M = H, cycloalkyl, (hetero)aryl, heterocyclic) to be used in treatment or prophylaxis of various disorders and diseases associated with the renin-angiotensin system. For example, I (X = SO₂, T = CONR₁, R₁ = cyclopropyl, O = CH₂, M = 2,3-C12C6H₃, WV = 4-C6H₄(CH₂)₃O, U = 2-C1-3,6-C2C6H₃) was prepared from dicarboxylic acid (II) via addition of 2-chloro-3,6-difluorophenol followed by hydrolysis of the Me ester, amidation with cyclopropyl(2,3-dichlorobenzyl)amine, and removal of the BOC group.

IT 790697-23-2P 790697-23-3P 790697-24-4P
790697-25-5P 790697-26-6P 790697-27-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Uses)
(preparation of azabicyclononencarboxylic acid cyclopropyl ester derivs. for treatment or prophylaxis of disorders and diseases associated with the renin-angiotensin system)

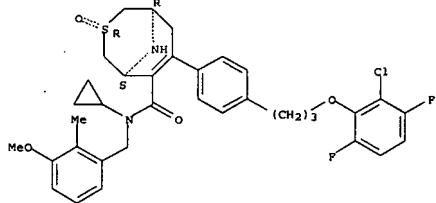
RN 790697-22-2 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-(3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-, 3-oxide, (1R,3R,5S)-rel- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 790697-23-3 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-(3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methyl-4-pyridinyl)methyl]-, 3-oxide, (1R,3R,5S)-rel- (9CI) (CA INDEX NAME)

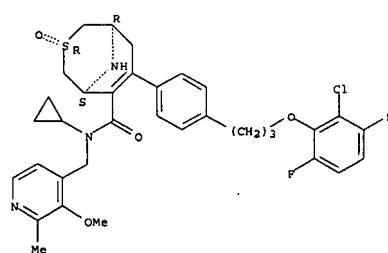
Relative stereochemistry.



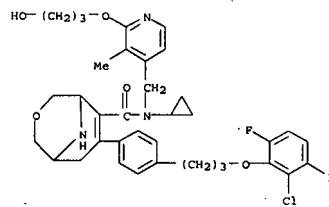
RN 790697-24-4 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-(3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methyl-4-pyridinyl)methyl]-, 3-oxide, (1R,3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

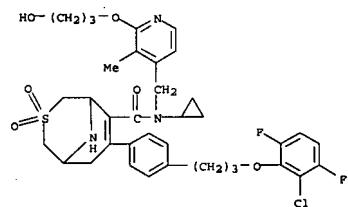


RN 790697-25-5 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-(3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]-N-cyclopropyl-N-[(2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

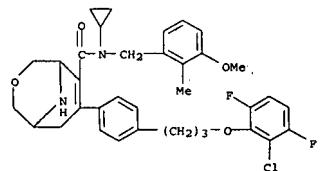


RN 790697-26-6 CAPLUS
CN 3-Thia-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-(3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]-N-cyclopropyl-N-[(2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl)methyl]-, 3,3-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 790697-27-7 CAPLUS
 CN 1-Oxa-9-azabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl] (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PALM INTRANET**Inventor Information for 10/554702**

Inventor Name	City	State/Country
BEZENCON, OLIVIER	RIEHEN	SWITZERLAND
BUR, DANIEL	ALLSCHWIL	SWITZERLAND
FISCHLI, WALTER	ALLSCHWIL	SWITZERLAND
REMEN, LUBOS	ALLSCHWIL	SWITZERLAND
RICHARD-BILDSTEIN, SYLVIA	DIETWILLER	FRANCE
WELLER, THOMAS	BINNINGEN	SWITZERLAND
SIFFERLEN, THIERRY	GUEWENHEIM	GERMANY

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Attorney Docket #

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